

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	20	"5723616"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 12:29
L2	3	"2002018319"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 14:07
L3	2	"20020018319"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 12:31
L4	2	"200218319"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 12:31
L5	0	"200200018319"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 12:31
L6	335	alzheimer and 514/278.ccls.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 14:13
L7	152	I6 and @py<"2003"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 14:10
L8	18	I7 and (growth adj hormone)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 14:13
L9	112	alzheimer and 514/570.ccls.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 14:13

EAST Search History

L10	4	I9 and (secretase adj inhibitor)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 14:14
S1	5	"2004080459"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 08:29
S2	5	"2004045592"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 08:35
S3	5	"2004031137"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 08:38
S4	4	"2003018543"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/04/24 12:26

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FILE 'HOME' ENTERED AT 08:11:18 ON 24 APR 2007

=> file req

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY

SESSION

0.21

0.21

FILE 'REGISTRY' ENTERED AT 08:11:45 ON 24 APR 2007
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STRUCTURE FILE UPDATES: 22 APR 2007 HIGHEST RN 931834-80-9
DICTIONARY FILE UPDATES: 22 APR 2007 HIGHEST RN 931834-80-9

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

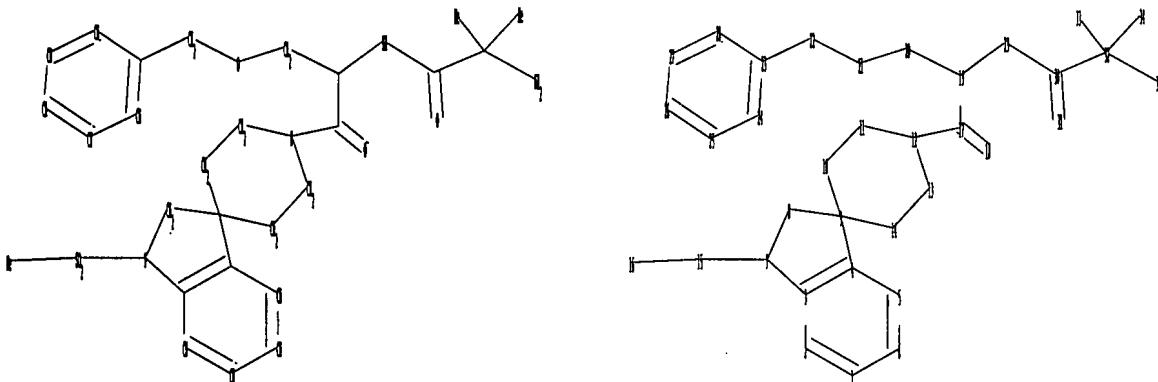
Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10560092A.str



chain nodes :

15 16 17 18 19 20 21 22 29 30 31 32 33 34 35

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 23 24 25 26 27 28

chain bonds :

7-15 12-17 15-16 17-18 17-19 19-20 19-29 20-21 21-22 22-23 29-30 30-31

30-32 32-33 32-34 32-35

ring bonds :

1-2 1-6 2-3 3-4 3-7 4-5 4-9 5-6 7-8 8-9 9-10 9-14 10-11 11-12 12-13

13-14 23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

3-7 4-9 7-8 7-15 8-9 9-10 9-14 10-11 11-12 12-13 12-17 13-14 17-18

19-29 29-30 30-31 32-35

exact bonds :

15-16 17-19 19-20 20-21 21-22 22-23 30-32 32-33 32-34

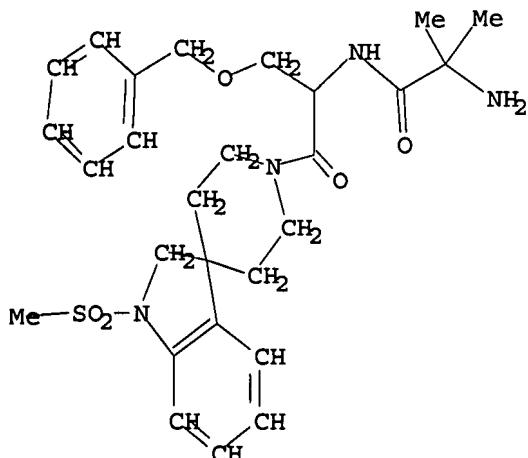
normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS
 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom
 28:Atom 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS

L1 STRUCTURE UPLOADED

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 L1 HAS NO ANSWERS
 L1 STR

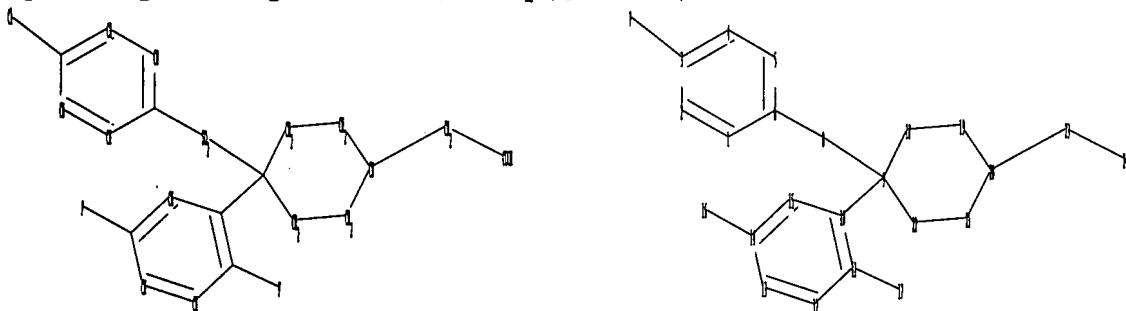


Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
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 FULL SCREEN SEARCH COMPLETED - 257 TO ITERATE
 100.0% PROCESSED 257 ITERATIONS
 SEARCH TIME: 00.00.01

L2 18 SEA SSS FUL L1

=>
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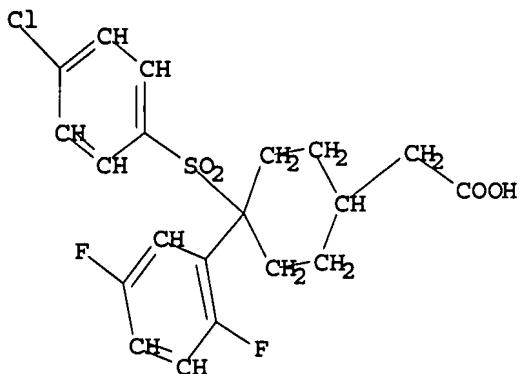
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 7 8 16 17 23 24
 ring nodes :
 1 2 3 4 5 6 9 10 11 12 13 14 15 18 19 20 21 22
 chain bonds :

3-7 6-8 8-9 9-10 11-17 14-16 20-23 23-24
 ring bonds :
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 18-19 19-20 20-21 21-22
 exact/norm bonds :
 9-18 9-22 18-19 19-20 20-21 21-22
 exact bonds :
 3-7 6-8 8-9 9-10 11-17 14-16 20-23 23-24
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:Atom 19:Atom
 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS

L3 STRUCTURE UPLOADED

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 L3 HAS NO ANSWERS
 L3 STR



Structure attributes must be viewed using STN Express query preparation.

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 FULL SCREEN SEARCH COMPLETED - 744 TO ITERATE

100.0% PROCESSED 744 ITERATIONS 1 ANSWERS
 SEARCH TIME: 00.00.01

L4 1 SEA SSS FUL L3

=> d 12 1

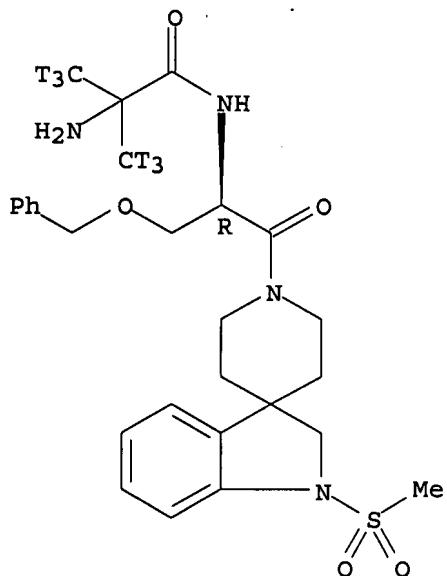
L2 ANSWER 1 OF 18 REGISTRY COPYRIGHT 2007 ACS on STN
 RN 817203-70-6 REGISTRY
 ED Entered STN: 20 Jan 2005
 CN Propanamide-3,3,3-t3, 2-amino-N-[(1R)-2-[1,2-dihydro-1-
 (methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-
 [(phenylmethoxy)methyl]ethyl]-2-(methyl-t3)-, monomethanesulfonate (9CI)
 (CA INDEX NAME)
 FS STEREOSEARCH
 MF C27 H30 N4 O5 S T6 . C H4 O3 S

SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

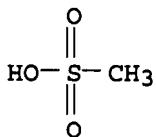
CRN 817203-69-3
CMF C27 H30 N4 O5 S T6

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

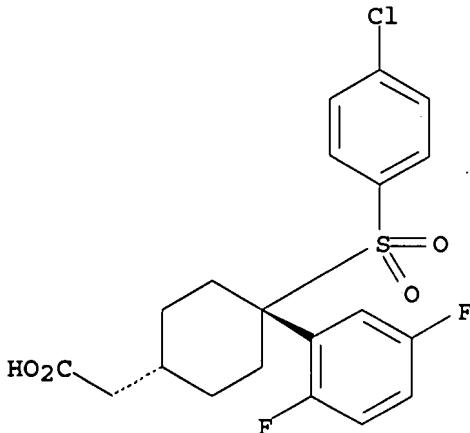


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 14

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 471903-69-2 REGISTRY
ED Entered STN: 08 Nov 2002
CN Cyclohexaneacetic acid, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, cis- (CA INDEX NAME)
OTHER NAMES:
CN [cis-4-(4-Chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid
FS STEREOSEARCH
MF C20 H19 Cl F2 O4 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file hcaplus	COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST		349.45	349.66

FILE 'HCAPLUS' ENTERED AT 08:14:27 ON 24 APR 2007
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FILE COVERS 1907 - 24 Apr 2007 VOL 146 ISS 18
FILE LAST UPDATED: 23 Apr 2007 (20070423/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 12 and 14
      136 L2
      5 L4
L5      0 L2 AND L4

=> s 12 and alzheimer
      136 L2
      44478 ALZHEIMER
L6      15 L2 AND ALZHEIMER
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=> s 14 and alzheimer
      5 L4
      44478 ALZHEIMER
L7      4 L4 AND ALZHEIMER

=> dup rem 16 17
PROCESSING COMPLETED FOR L6
PROCESSING COMPLETED FOR L7
L8      19 DUP REM L6 L7 (0 DUPLICATES REMOVED)

=> s 12 and (neurodegenerative or cognitive or dementia)
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      17788 NEURODEGENERATIVE
      19924 COGNITIVE
      13813 DEMENTIA
L9      15 L2 AND (NEURODEGENERATIVE OR COGNITIVE OR DEMENTIA)

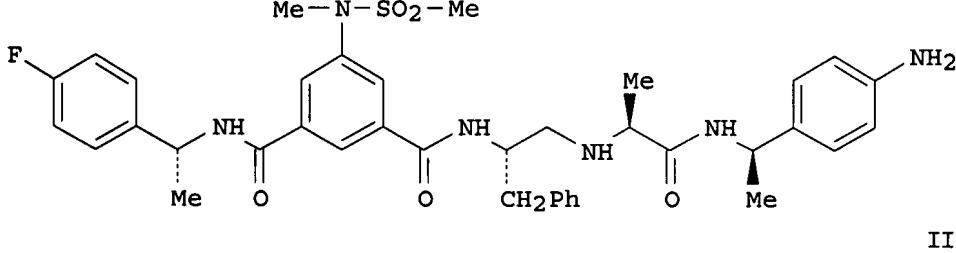
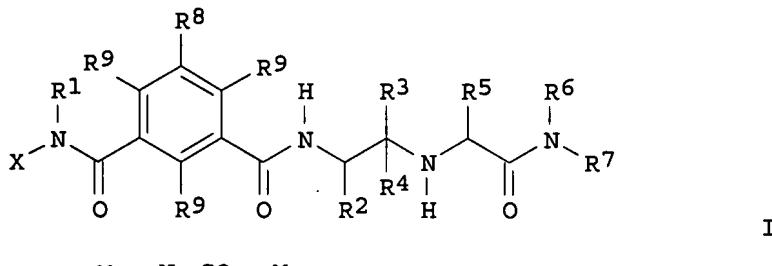
=> s 14 and (neurodegenerative or cognitive or dementia)
      5 L4
      17788 NEURODEGENERATIVE
      19924 COGNITIVE
      13813 DEMENTIA
L10     0 L4 AND (NEURODEGENERATIVE OR COGNITIVE OR DEMENTIA)

=> dup rem 18 19
PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L9
L11     20 DUP REM L8 L9 (14 DUPLICATES REMOVED)

=> d ed abs ibib hitstr 1-
YOU HAVE REQUESTED DATA FROM 20 ANSWERS - CONTINUE? Y/ (N) :y

L11  ANSWER 1 OF 20  HCAPLUS  COPYRIGHT 2007 ACS on STN DUPLICATE 1
ED  Entered STN:  16 Feb 2007
GI

```



AB Title compds. I [X = B-A-(L)i; B = alkylene with provisos; A = aryl, heteroaryl; L = H, halo, OH, etc.; i = 0-3; R1 = H, alkyl, alkenyl, etc.; R2 = alkyl, alkenyl, alkynyl, etc.; R3, R4 = H, alkyl, F, etc.; R5 = H, alkyl, alkenyl, etc.; R6 = alkenyl, alkynyl, cycloalkyl, etc.; R7 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, isophthalamide II was prepared from Me 2-aminoisophthalate in 9-steps. Compds. I are claimed useful as

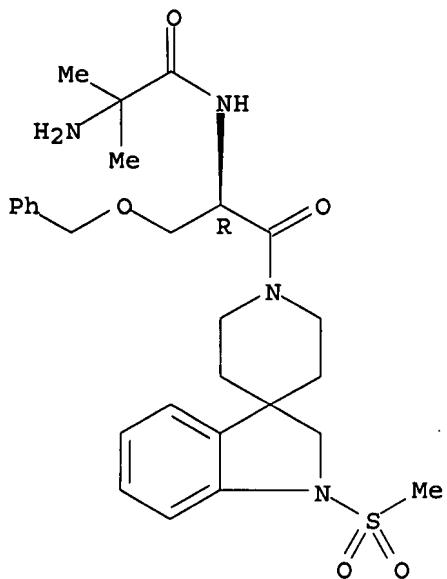
β -secretase inhibitors.

ACCESSION NUMBER: 2007:175504 HCAPLUS
DOCUMENT NUMBER: 146:251613
TITLE: Preparation of isophthalamides for the treatment of Alzheimer's disease
INVENTOR(S): Fuchs, Klaus; Eickmeier, Christian; Heine, Niklas;
Peters, Stefan; Dorner-Ciossek, Cornelia; Handschuh,
Sandra; Nar, Herbert; Klinder, Klaus
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany;
Boehringer Ingelheim Pharma GmbH & Co. KG
SOURCE: PCT Int. Appl., 223pp.
CODEN: PIIXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017511	A2	20070215	WO 2006-EP65157	20060808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

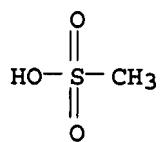
PRIORITY APPLN. INFO.: EP 2005-17475 A 20050811
OTHER SOURCE(S): MARPAT 146:251613
IT 159752-10-0, Ibutamoren mesylate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments with; preparation of isophthalamides for the treatment of
Alzheimer's disease)
RN 159752-10-0 HCAPLUS
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)
CM 1
CRN 159634-47-6
CMF C27 H36 N4 O5 S

Absolute stereochemistry.

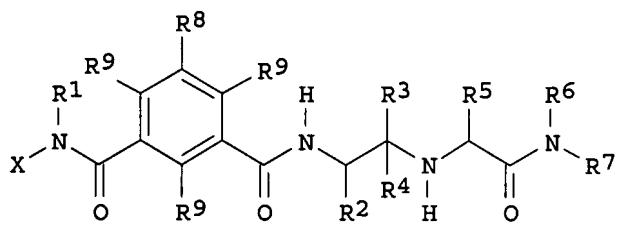


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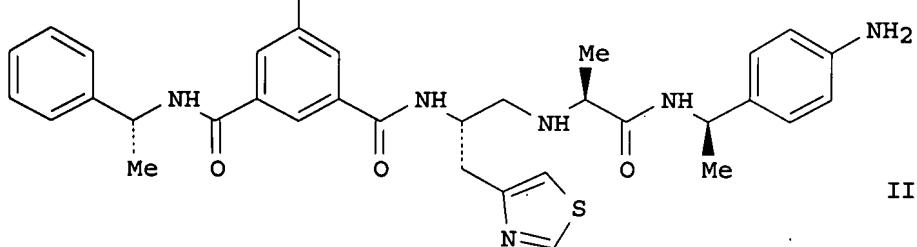
CRN 75-75-2
CMF C H4 O3 S



L11 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2
ED Entered STN: 16 Feb 2007
GI



I



II

AB Title compds. I [X = B-A-(L)i; B = alkylene with provisos; A = aryl, heteroaryl; L = H, halo, OH, etc.; i = 0-3; R1 = H, alkyl, alkenyl, etc.; R2 = alkyl, alkenyl, alkynyl, etc.; R3, R4 = H, alkyl, F, etc.; R5 = H, alkyl, alkenyl, etc.; R6 = alkenyl, alkynyl, cycloalkyl, etc.; R7 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, the TFA salt of isophthalamide II was prepared from Me 2-aminoisophthalate in 5-steps. Compds. I are claimed useful as β -secretase inhibitors.

ACCESSION NUMBER: 2007:175501 HCAPLUS

DOCUMENT NUMBER: 146:251612

TITLE: Preparation of isophthalamides for the treatment of Alzheimer's disease

INVENTOR(S): Heine, Niklas; Fuchs, Klaus; Eickmeier, Christian; Peters, Stefan; Dorner-Ciossek, Cornelia; Handschuh, Sandra; Nar, Herbert; Klinder, Klaus

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG

SOURCE: PCT Int. Appl., 153pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017510	A2	20070215	WO 2006-EP65155	20060808
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PRIORITY APPLN. INFO.: EP 2005-17478 A 20050811

OTHER SOURCE(S): MARPAT 146:251612

IT 159752-10-0, Ibutamoren mesylate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicaments with; preparation of isophthalamides for the treatment of Alzheimer's disease)

RN 159752-10-0 HCAPLUS

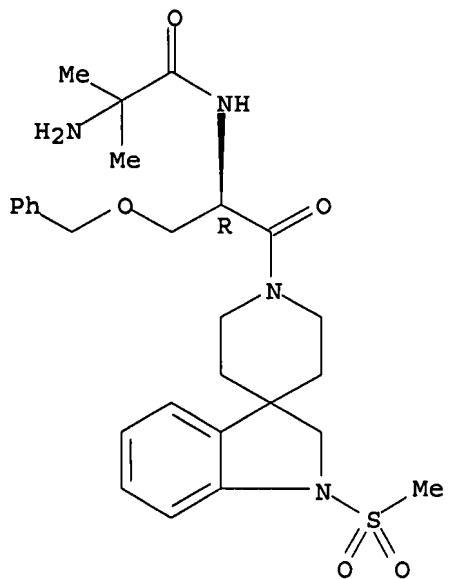
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 159634-47-6

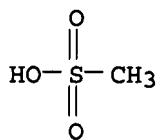
CMF C27 H36 N4 O5 S

Absolute stereochemistry.

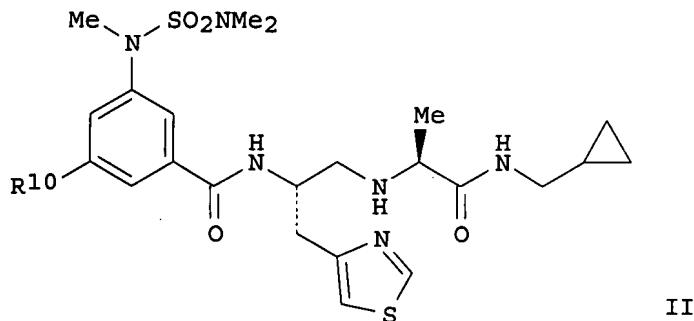
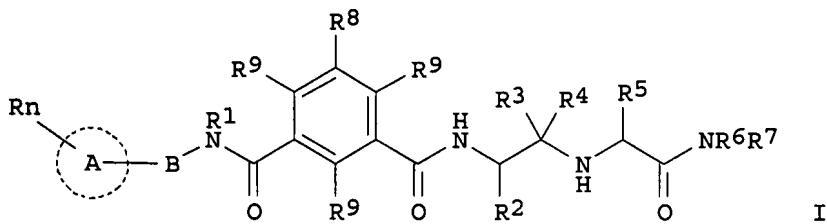


CM 2

CRN 75-75-2
CMF C H4 O3 S



L11 ANSWER 3 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3
ED Entered STN: 16 Feb 2007
GI



AB Title compds. [I; A = (substituted) (hetero)aryl; R = H, F, Cl, Br, iodo, OH, CO₂H, CHO, cyano, NO₂, CF₃, etc.; n = 0-3; B = (substituted) alkylene; R₁ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₂ = (substituted) alkyl, alkenyl, alkynyl, alkoxyalkyl, etc.; R₃, R₄ = H, alkyl, F, CF₃, CHF₂, CH₂F; R₅ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₆ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₇ = H, (substituted) alkyl, alkenyl, alkynyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, etc.; R₈ = H, F, Cl, Br, iodo, cyano, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₉ = H, F, Cl, Br, iodo, (substituted) alkyl, etc.], salts, diastereomers, enantiomers, racemates, hydrates and solvates thereof were prepared. Thus, II (R₁₀ = CO₂H) in CH₂Cl₂ was treated with TBTU (O-(benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium tetrafluoroborate), DIPEA (diisopropylethylamine), and 1-(pyrid-2-yl)ethylamine followed by stirring for 1 h at room temperature to give II (R₁₀ = 1-(pyrid-2-yl)ethylaminocarbonyl). Tested I inhibited β -secretase with IC₅₀ <30 μ M.

ACCESSION NUMBER: 2007:173914 HCPLUS
 DOCUMENT NUMBER: 146:251873
 TITLE: Preparation of heteroaryl 1,2-ethylenediamines as β -secretase inhibitors for treatment of Alzheimer's disease
 INVENTOR(S): Fuchs, Klaus; Eickmeier, Christian; Heine, Niklas; Peters, Stefan; Dorner-Ciossek, Cornelia; Handschuh, Sandra; Nar, Herbert; Klinder, Klaus
 PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
 SOURCE: PCT Int. Appl., 141pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017509	A1	20070215	WO 2006-EP65154	20060808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
 KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
 MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU,
 SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,
 US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2005-17476 A 20050811

OTHER SOURCE(S) : MARPAT 146:251873

IT 159752-10-0, Ibutamoren mesylate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (coadministration; preparation of heteroaryl ethylenediamines as
 β-secretase inhibitors for treatment of Alzheimer's
 diseases)

RN 159752-10-0 HCAPLUS

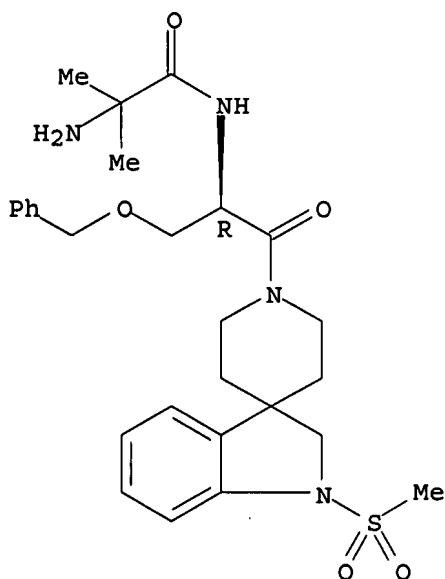
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 159634-47-6

CMF C27 H36 N4 O5 S

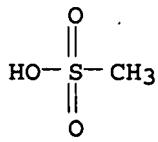
Absolute stereochemistry.



CM 2

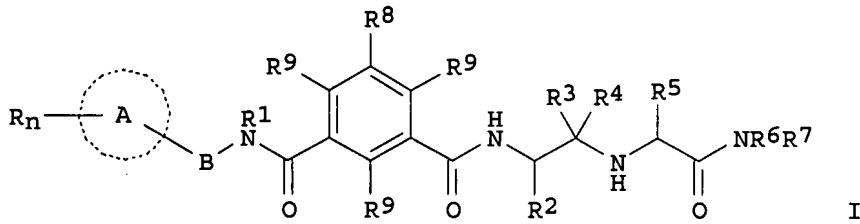
CRN 75-75-2

CMF C H4 O3 S

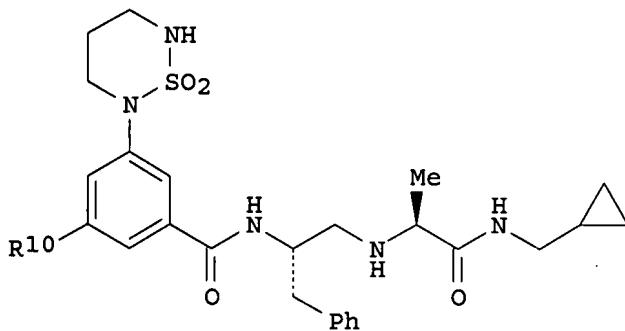


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4
 ED Entered STN: 16 Feb 2007
 GI



I



II

AB Title compds. [I; A = (substituted) (hetero)aryl; R = H, F, Cl, Br, I, OH, CO₂H, CHO, cyano, NO₂, CF₃, etc.; n = 0-3; B = (substituted) alkylene; R₁ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₂ = (substituted) alkyl, alkenyl, alkynyl, alkoxyalkyl, etc.; R₃, R₄ = H, alkyl, F, CF₃, CHF₂, CH₂F; R₅ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₆ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₇ = H, (substituted) alkyl, alkenyl, alkynyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, etc.; R₈ = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, etc.; R₉ = H, F, Cl, Br, I, (substituted) alkyl, etc.], salts, diastereomers, enantiomers, racemates, hydrates and solvates thereof were prep'd as β -secretase inhibitors (no data). Thus, II (R₁₀ = CO₂H) in CH₂Cl₂ was treated with TBTU (O-(benzotriazol-1-yl)-N,N,N',N'-tertramethyluronium tetrafluoroborate), DIPEA (N-Etdiisopropylamine), and 1-(1-methyl-1H-pyrazol-4-yl)ethylamine under ice-cooling followed by stirring for 5 h at room temperature to give II (R₁₀ = 1-(1-methyl-1H-pyrazol-4-yl)ethylaminocarbonyl).

ACCESSION NUMBER: 2007:173532 HCAPLUS

DOCUMENT NUMBER: 146:251863

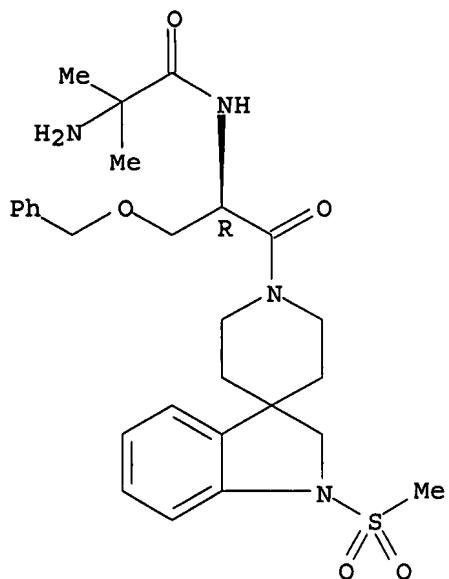
TITLE: Preparation of substituted 1,2-ethylenediamines as β -secretase inhibitors for treatment of

Alzheimer's diseases
INVENTOR(S) : Eickmeier, Christian; Fuchs, Klaus; Heine, Niklas;
Peters, Stefan; Dorner-Ciossek, Cornelia; Handschuh,
Sandra; Nar, Herbert; Klinder, Klaus
PATENT ASSIGNEE(S) : Boehringer Ingelheim International G.m.b.H., Germany;
Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 143pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017507	A1	20070215	WO 2006-EP65151	20060808
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

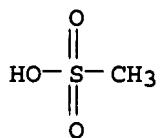
PRIORITY APPLN. INFO.: EP 2005-17477 A 20050811
OTHER SOURCE(S) : MARPAT 146:251863
IT 159752-10-0, Ibutamoren mesylate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of substituted ethylenediamines as β-secretase inhibitors
for treatment of Alzheimer's diseases)
RN 159752-10-0 HCPLUS
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)
CM 1
CRN 159634-47-6
CMF C27 H36 N4 O5 S

Absolute stereochemistry.



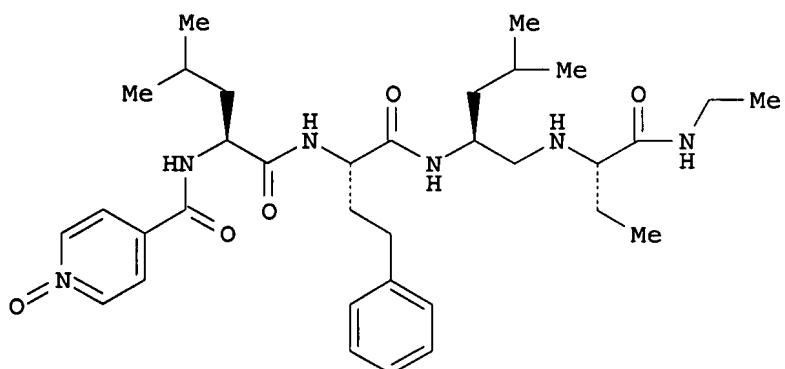
CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 5
ED Entered STN: 09 Feb 2007
GI



AB The invention relates to compds. R1CONHCHR3CONR4CHR5CONHCHR6CH2NHCHR7CONHR2 [R1 is (hetero)alkyl, cycloalkyl, aryl, heteroaryl; R2 is alkyl,

cycloalkyl, aryl, heterocyclyl, heteroaryl; R3, R6, R7 are alkyl, cycloalkyl, aryl; R4 is H, alk(en) (yn)yl, cycloalkyl; R5 is alkyl, cycloalkyl, aryl, heteroaryl; groups R1-R7 may be substituted], including pharmaceutically-acceptable salts, enantiomers, diastereomers, etc., for use in treating or preventing Alzheimer's disease and similar diseases. Thus, peptide I was prepared by the solid-phase method and used in the preparation of a pharmaceutical formulation.

ACCESSION NUMBER: 2007:150718 HCPLUS
DOCUMENT NUMBER: 146:229613
TITLE: Preparation of peptide 1,2-ethanediamine derivatives for the treatment of Alzheimer's disease
INVENTOR(S): Peters, Stefan; Eickmeier, Christian; Fuchs, Klaus; Stransky, Werner; Dorner-Ciossek, Cornelia; Kostka, Marcus; Handschuh, Sandra; Nar, Herbert; Bornemann, Klaus; Klinder, Klaus; Bauer, Margit
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG
SOURCE: PCT Int. Appl., 107pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

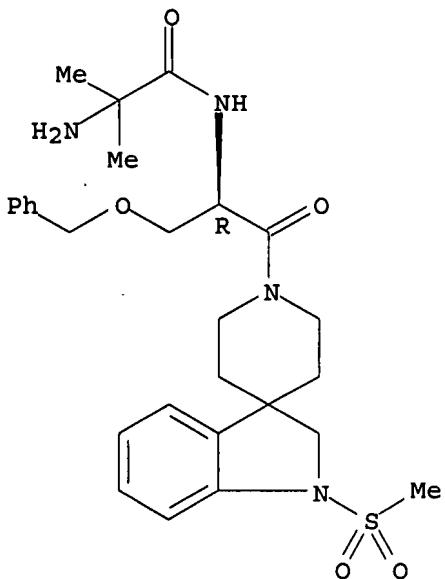
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007014946	A1	20070208	WO 2006-EP64885	20060801
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: EP 2005-16866 A 20050803
OTHER SOURCE(S): MARPAT 146:229613
IT 159752-10-0, Ibutamoren mesylate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of peptide 1,2-ethanediamine derivs. for the treatment of Alzheimer's disease)
RN 159752-10-0 HCPLUS
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

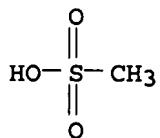
CRN 159634-47-6
CMF C27 H36 N4 O5 S

Absolute stereochemistry.



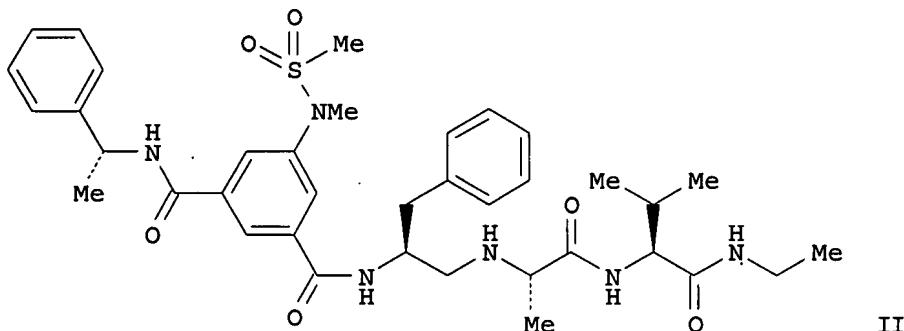
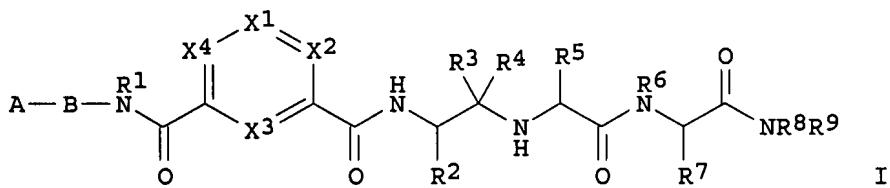
CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 6
ED Entered STN: 06 Oct 2006
GI



AB The invention relates to substituted 1,2-ethylenediamines I [A is aryl or heteroaryl which may be substituted; B is C1-4-alkylene or oxyalkylene; R1, R2, R5-R9 are H, (un)substituted alkyl, (hetero)aryl, etc. (but R2 is not H); R3, R4 are H, alkyl, F, CF3, CHF2, CH2F; X1-X4 are N, C or substituted carbon (0-3 of these groups are N)], including tautomers, diastereomers, enantiomers, and salts, and their use in the treatment of Alzheimer's disease (AD) and similar diseases. Thus, peptide II was prepared by a multistep sequence using reactants which include di-Me 5-aminoisophthalate, (R)-1-phenylethylamine, and protected amino acids. Compds. of the invention listed in a table have IC50 values < 30 μM in the β -secretase inhibition assay.

ACCESSION NUMBER: 2006:1041179 HCAPLUS
 DOCUMENT NUMBER: 145:419471
 TITLE: Preparation of peptide 1,2-ethylenediamine derivatives for the treatment of Alzheimer's disease
 INVENTOR(S): Eickmeier, Christian; Fuchs, Klaus; Peters, Stefan; Dorner-Ciossek, Cornelia; Heine, Niklas; Handschuh, Sandra; Klinder, Klaus; Kostka, Marcus
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG
 SOURCE: PCT Int. Appl., 325pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

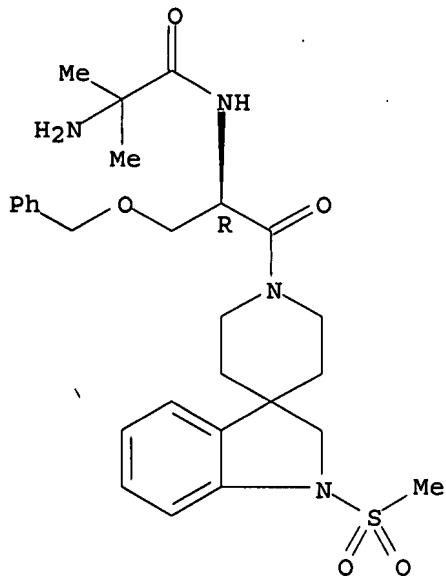
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006103038	A1	20061005	WO 2006-EP2769	20060327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

KG, KZ, MD, RU, TJ, TM
 US 2006223759 A1 20061005 US 2006-278059 20060330
 PRIORITY APPLN. INFO.: MARPAT 145:419471 EP 2005-6939 A 20050330
 OTHER SOURCE(S): IT 159752-10-0, Ibutamoren mesylate
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of peptide ethylenediamine derivs. for treatment of
 Alzheimer's disease)
 RN 159752-10-0 HCAPLUS
 CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

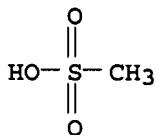
CRN 159634-47-6
CMF C27 H36 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
 ED Entered STN: 18 Sep 2006
 AB A review. Growth hormone (GH) is a pleiotropic hormone that is released from the pituitary in a pulsatile manner to promote body growth and fat mobilization and inhibit glucose utilization. The hormone interacts with most tissues of the body and there are therefore numerous pathol.

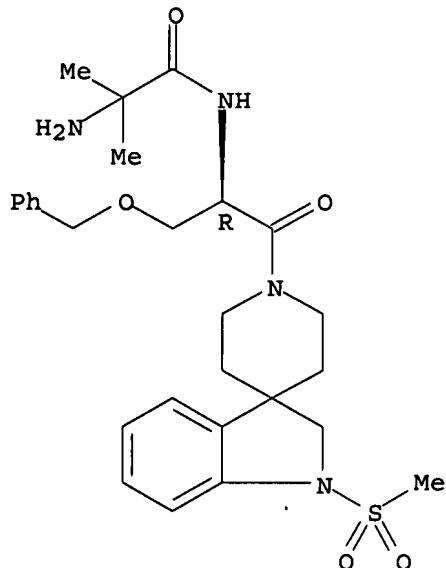
endocrine and metabolic conditions that involve or are due to faulty GH secretion. Recombinant GH has been used to treat many of these conditions, but it must be administered by injection and is associated with a number of adverse events. Researchers have speculated that synthetic GH secretagogues (GHSs) may be more effective than recombinant GH in inducing physiol. pulsatile GH secretion and have focused on identifying novel GHSs to be used clin. One promising GHS is the orally active, nonpeptide spironindolinesulfonamide ibutamoren mesilate (MK-0677, L-163194). The agent has exhibited good oral activity and duration of action and was effective clin. for a number of GH-related indications. Ibutamoren is now in phase II development for the treatment of fibromyalgia, Alzheimer 's disease and sarcopenia.

ACCESSION NUMBER: 2006:958466 HCPLUS
 DOCUMENT NUMBER: 146:308056
 TITLE: Ibutamoren mesilate: growth hormone secretagogue
 AUTHOR(S): Sorbera, L. A.; Bolos, J.; Serradell, N.
 CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
 SOURCE: Drugs of the Future (2006), 31(5), 390-399
 CODEN: DRFUD4; ISSN: 0377-8282
 PUBLISHER: Prous Science
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 IT 159752-10-0P, Ibutamoren mesylate
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Crescendo, MK 0677; ibutamoren mesilate synthesis, pharmacol., pharmacokinetics and efficacy in treatment of fibromyalgia, Alzheimer's disease and sarcopenia in patients)
 RN 159752-10-0 HCPLUS
 CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

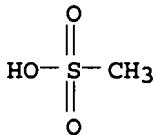
CRN 159634-47-6
 CMF C27 H36 N4 O5 S

Absolute stereochemistry.



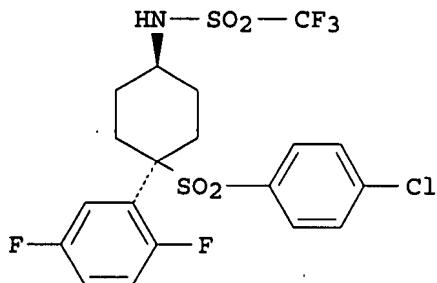
CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 29 Nov 2005
GI



AB The protease γ -secretase plays a pivotal role in the synthesis of pathogenic amyloid- β in Alzheimer's disease (AD). Here, we report a further extension to a series of cyclohexyl sulfone-based γ -secretase inhibitors which has allowed the preparation of highly potent compds. which also demonstrate robust A β (40) lowering in vivo (e.g., compound I, MED 1 mg/kg p.o. in APP-YAC mice).

ACCESSION NUMBER: 2005:1251592 HCPLUS
DOCUMENT NUMBER: 144:80566
TITLE: 4-Substituted cyclohexyl sulfones as potent, orally active γ -secretase inhibitors
AUTHOR(S): Churcher, Ian; Beher, Dirk; Best, Jonathan D.; Castro, Jose L.; Clarke, Earl E.; Gentry, Amy; Harrison, Timothy; Hitzel, Laure; Kay, Euan; Kerrad, Sonia; Lewis, Huw D.; Morentin-Gutierrez, Pablo; Mortishire-Smith, Russell; Oakley, Paul J.; Reilly, Michael; Shaw, Duncan E.; Shearman, Mark S.; Teall, Martin R.; Williams, Susie; Wrigley, Jonathan D. J.
CORPORATE SOURCE: Department of Medicinal Chemistry, The Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Harlow, Essex, CM20 2QR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(2), 280-284
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:80566

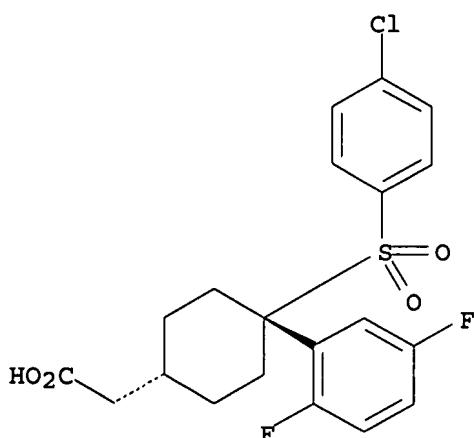
IT 471903-69-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(cyclohexyl sulfones as γ -secretase inhibitors)

RN 471903-69-2 HCPLUS

CN Cyclohexaneacetic acid, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, cis- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

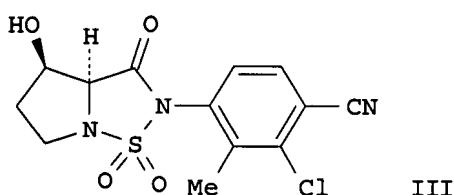
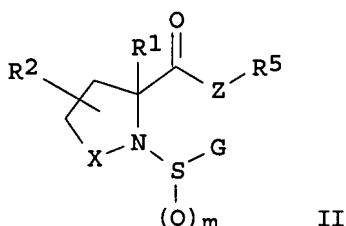
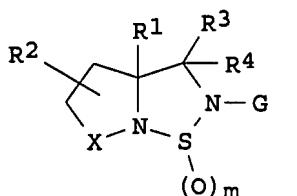
23

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 7

ED Entered STN: 26 Aug 2005

GI



AB Title compds. I or II [R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H, halo, SR6, etc.; R3 and R4 independently = H, (un)substituted alkynyl, cycloalkyl, etc.; R5 = H, (un)substituted aryl, arylalkyl, etc.; R6 = H, CHF₂, CF₃, etc.; X = (CH₂)_n; G = (un)substituted aryl, heterocycle or heteroaryl; Z = O or NR₇; R7 = H, (un)substituted alkyl, alkenyl, etc.; n and m independently = 1-2] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of androgen receptor. Thus, e.g., III was prepared by hydrolysis of (2S,3R)-1-(3-chloro-4-cyano-2-methyl-phenylsulfamoyl)-3-hydroxy-pyrrolidine-2-carboxylic acid Me ester (preparation given) followed by cyclization. The activity of I was evaluated in transactivation assays of a transfected reporter construct and using the endogenous androgen receptor of the host cells (no data). I as modulator of androgen receptor should prove useful in the treatment of neoplasm, Alzheimer's disease and obesity. Pharmaceutical compns. comprising I are disclosed.

ACCESSION NUMBER: 2005:902874 HCAPLUS
 DOCUMENT NUMBER: 143:248277
 TITLE: Preparation of sulfonylpiperidines as modulators of androgen receptor
 INVENTOR(S): Hamann, Lawrence H.; Bi, Yingzhi; Manfredi, Mark C.; Nirschl, Alexandra A.; Sutton, James C.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

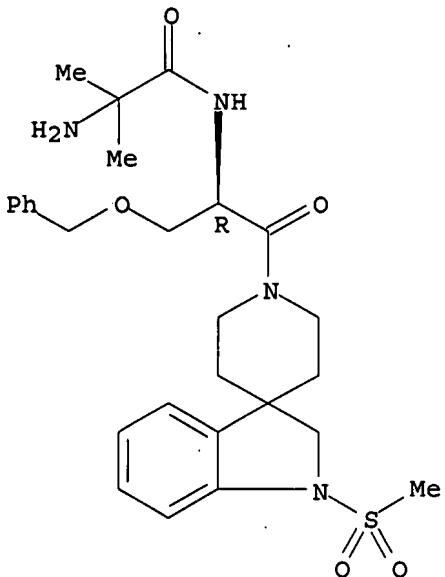
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077925	A1	20050825	WO 2005-US2834	20050202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1718626	A1	20061108	EP 2005-712320	20050202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
PRIORITY APPLN. INFO.:			US 2004-541869P	P 20040204
			WO 2005-US2834	W 20050202

OTHER SOURCE(S): MARPAT 143:248277
 IT 159752-10-0, MK-677
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (claimed co-drug; preparation of sulfonylpiperidines as modulators of androgen receptor)
 RN 159752-10-0 HCAPLUS
 CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

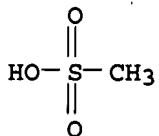
CRN 159634-47-6
 CMF C27 H36 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 8
ED Entered STN: 30 Jun 2005
AB The invention provides the combination of a growth hormone secretagogue and a p38 kinase inhibitor for use in treatment or prevention of a disease associated with deposition of A β in the brain.

ACCESSION NUMBER: 2005:564579 HCAPLUS

DOCUMENT NUMBER: 143:71802

TITLE: Growth hormone secretagogue-p38 kinase inhibitor combination for the treatment of Alzheimer's disease and related conditions

INVENTOR(S): Castro Pineiro, Jose Luis

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058308	A2	20050630	WO 2004-GB5234	20041214
WO 2005058308	A3	20050915		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-29275 A 20031218

OTHER SOURCE(S) : MARPAT 143:71802

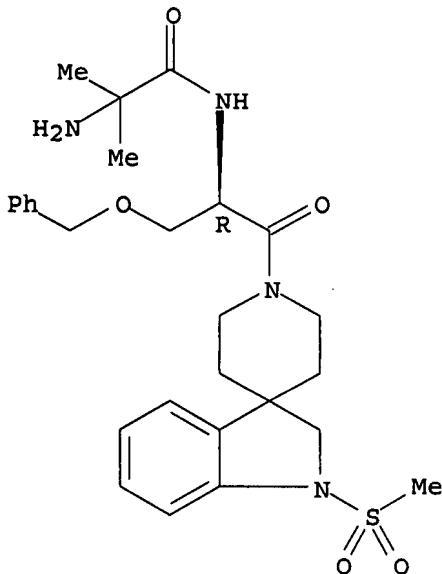
IT 159634-47-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (growth hormone secretagogue-p38 kinase inhibitor combination for treatment of Alzheimer's disease and related conditions)

RN 159634-47-6 HCPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

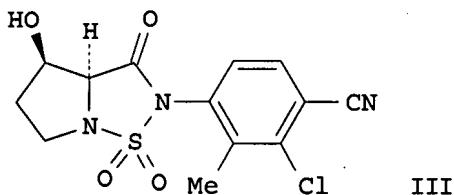
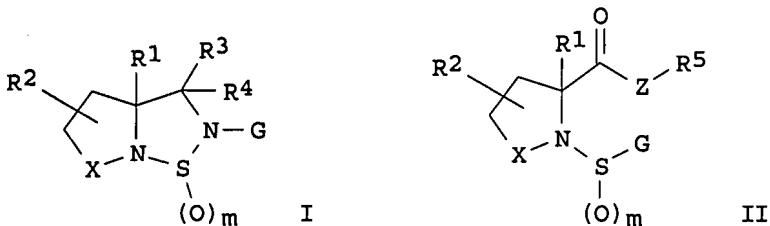
Absolute stereochemistry.



L11 ANSWER 11 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 9

ED Entered STN: 26 Aug 2005

GI



AB Title compds. I or II [R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = H, halo, SR6, etc.; R3 and R4 independently = H, (un)substituted alkynyl, cycloalkyl, etc.; R5 = H, (un)substituted aryl, arylalkyl, etc.; R6 = H, CHF2, CF3, etc.; X = (CH2)n; G = (un)substituted aryl, heterocycle or heteroaryl; Z = O or NR7; R7 = H, (un)substituted alkyl, alkenyl, etc.; n and m independently = 1-2] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of androgen receptor. Thus, e.g., III was prepared by hydrolysis of (2S,3R)-1-(3-chloro-4-cyano-2-methylphenylsulfamoyl)-3-hydroxy-pyrrolidine-2-carboxylic acid Me ester (preparation given) followed by cyclization. The activity of I was evaluated in transactivation assays of a transfected reporter construct and using the endogenous androgen receptor of the host cells (no data). I as modulator of androgen receptor should prove useful in the treatment of neoplasm, Alzheimer's disease and obesity. Pharmaceutical compns. comprising I are disclosed.

ACCESSION NUMBER: 2005:904349 HCPLUS
 DOCUMENT NUMBER: 143:248278
 TITLE: Preparation of sulfonylpyrrolidines as modulators of androgen receptor
 INVENTOR(S): Hamann, Lawrence G.; Bi, Yingzhi; Manfredi, Mark C.; Nirschl, Alexandra A.; Sutton, James C.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 35 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

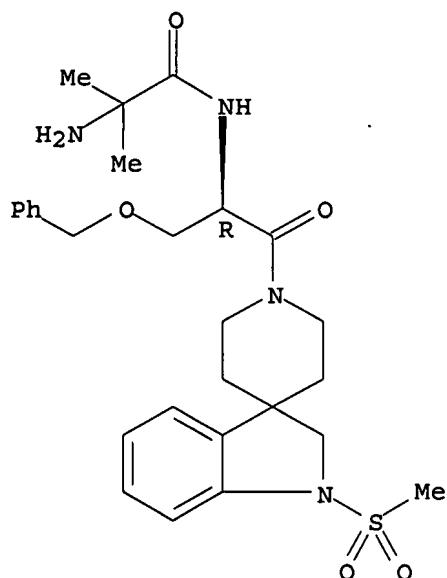
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2005187267	A1	20050825	US 2005-48439	20050201
PRIORITY APPLN. INFO.:			US 2004-541869P	P 20040204
OTHER SOURCE(S):	MARPAT 143:248278			
IT 159752-10-0				
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (claimed co-drug; preparation of sulfonylpyrrolidines as modulators of androgen receptor)				

RN 159752-10-0 HCAPLUS
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

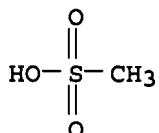
CRN 159634-47-6
CMF C27 H36 N4 O5 S

Absolute stereochemistry.

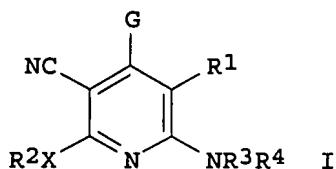


CM 2

CRN 75-75-2
CMF C H4 O3 S



L11 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 10
ED Entered STN: 19 Aug 2005
GI



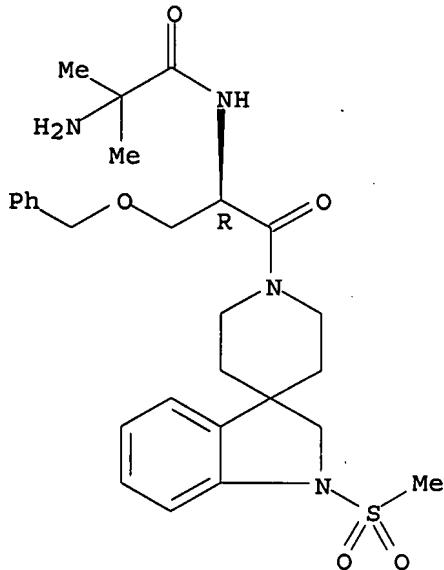
AB A method is provided for treating androgen receptor-associated conditions, such as age-related diseases, e.g. sarcopenia, employing a compound I [R1 =

CN, H; X = O, S; R2 = (substituted) alkyl, (substituted) cycloalkyl, etc;
 R3, R4 = H, (substituted) alkyl, etc.; G = (substituted) aryl,
 (substituted) heteroaryl], or a pharmaceutically acceptable salt or
 prodrug ester thereof. Preparation of selected I is described. I may be used
 in combination with other agents.

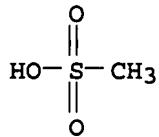
ACCESSION NUMBER: 2005:824492 HCAPLUS
 DOCUMENT NUMBER: 143:222525
 TITLE: Method of using 3-cyano-4-arylpypyridine derivatives as
 modulators of androgen receptor function, preparation
 thereof, and use with other agents
 INVENTOR(S): Nirschl, Alexandra A.; Hamann, Lawrence G.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 25 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2005182105	A1	20050818	US 2005-48437	20050201
PRIORITY APPLN. INFO.:			US 2004-541780P	P 20040204
OTHER SOURCE(S):	MARPAT	143:222525		
IT 159752-10-0, MK-677				
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
			(cyanoarylpyridine derivative modulators of androgen receptor function, preparation, and use with other agents)	
RN 159752-10-0 HCAPLUS				
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)				
CM 1				
CRN 159634-47-6				
CMF C27 H36 N4 O5 S				

Absolute stereochemistry.



CRN 75-75-2
CMF C H4 O3 S



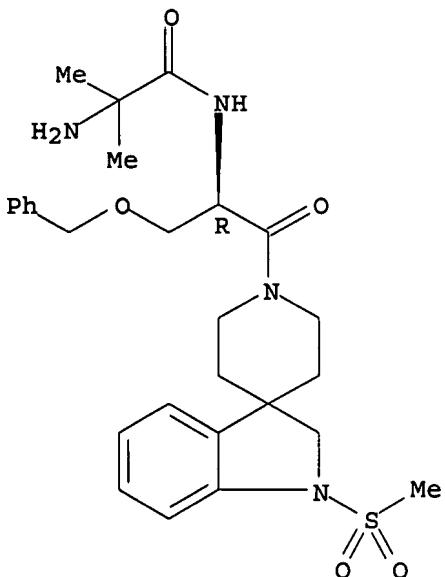
L11 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 08 Sep 2005
AB GH-releasing peptides, including GHRP and morelin analogs, are claimed as neurite extension promoters for treatment of nerve system diseases, including dementia, memory disorder, and paralysis.
ACCESSION NUMBER: 2005:975900 HCAPLUS
DOCUMENT NUMBER: 143:242039
TITLE: GH-releasing peptides as neurite extension promoters for treatment of nerve system diseases
INVENTOR(S): Gomita, Hiroshi; Nikami, Kojiro; Shibata, Kazuhiko; Kano, Yoshio
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005239712	A	20050908	JP 2005-23536	20050131
PRIORITY APPLN. INFO.:			JP 2004-22778	A 20040130
IT 159752-10-0, MK 0677				
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(GH-releasing peptides as neurite extension promoters for treatment of nerve system diseases)				
RN 159752-10-0 HCAPLUS				
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)				

CM 1

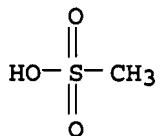
CRN 159634-47-6
CMF C27 H36 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



L11 ANSWER 14 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 11
 ED Entered STN: 23 Dec 2004
 AB There is disclosed the combination of a growth hormone secretagogue and at least one agent which modifies the production or processing of A_B in the brain, said at least one agent being selected from: (a) compds. which inhibit the secretion of A_B; (b) compds. which selectively inhibit the secretion of the 1-42 isoform of A_B; (c) compds. which inhibit the aggregation of A_B; and (d) antibodies which selectively bind to A_B; for use in treatment or prevention of a disease associated with deposition of A_B in the brain. The growth hormone secretagogue is especially N-[1(R)-[(1,2-dihydro-1-methanesulfonylspiro[3H-indole-3,4'-piperidin]-1'-yl)carbonyl]-2-(phenylmethoxy)ethyl]-2-amino-2-methylpropanamide. The amyloid modifier is especially R-flurbiprofen.
 ACCESSION NUMBER: 2004:1124636 HCPLUS
 DOCUMENT NUMBER: 142:49251
 TITLE: Growth hormone secretagogue combination with agent modifying production or processing of A_B in brain in treatment for Alzheimer's disease and related conditions
 INVENTOR(S): Castro Pineiro, Jose Luis
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
 SOURCE: PCT Int. Appl., 34 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110443	A1	20041223	WO 2004-GB2381	20040604
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004246849	A1	20041223	AU 2004-246849	20040604
CA 2528395	A1	20041223	CA 2004-2528395	20040604
EP 1638563	A1	20060329	EP 2004-736079	20040604
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
CN 1805746	A	20060719	CN 2004-80016539	20040604
JP 2006527244	T	20061130	JP 2006-516374	20040604
US 2006121034	A1	20060608	US 2005-560092	20051209
PRIORITY APPLN. INFO.:			GB 2003-13772	A 20030613
			WO 2004-GB2381	W 20040604

OTHER SOURCE(S): MARPAT 142:49251

IT 159752-10-0 159752-10-0D, salts

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (as growth hormone secretagogue; growth hormone secretagogue combination with agent modifying production or processing of A β in brain in treatment for Alzheimer's disease and related conditions)

RN 159752-10-0 HCPLUS

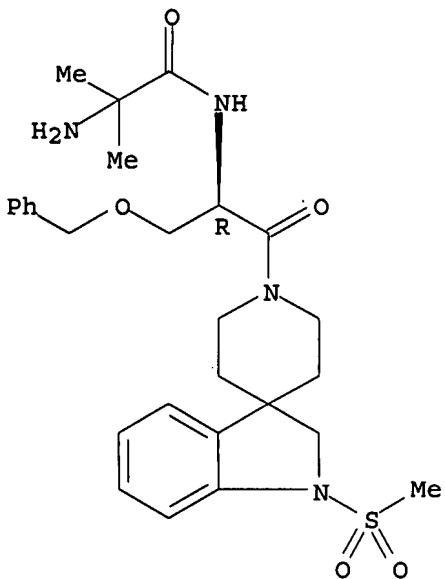
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

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CRN 159634-47-6

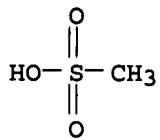
CMF C27 H36 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
 CMF C H4 O3 S

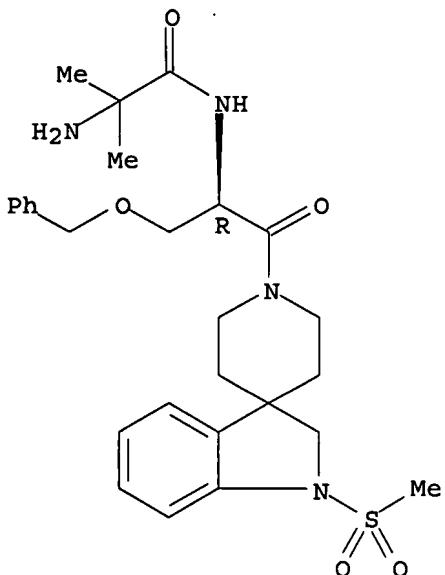


RN 159752-10-0 HCPLUS
 CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

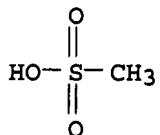
CRN 159634-47-6
 CMF C27 H36 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 12

ED Entered STN: 18 Oct 2004

AB The invention discloses the treatment or prevention of diseases involving deposition of β -amyloid in the brain, e.g. Alzheimer's disease, via the combined administration of a growth hormone secretagogue and a PDE4 inhibitor.

ACCESSION NUMBER: 2004:857402 HCPLUS

DOCUMENT NUMBER: 141:325764

TITLE: Growth hormone secretagogue-phosphodiesterase 4 inhibitor combination for the treatment of Alzheimer's disease

INVENTOR(S): Castro Pineiro, Jose Luis

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087157	A2	20041014	WO 2004-GB1435	20040401
WO 2004087157	A3	20041118		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG
 AU 2004226698 A1 20041014 AU 2004-226698 20040401
 CA 2521046 A1 20041014 CA 2004-2521046 20040401
 CN 1764457 A 20060426 CN 2004-80008035 20040401
 EP 1660086 A2 20060531 EP 2004-725099 20040401
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 JP 2006522084 T 20060928 JP 2006-506077 20040401
 US 2006183764 A1 20060817 US 2005-552367 20051003
 PRIORITY APPLN. INFO.: GB 2003-7863 A 20030404
 WO 2004-GB1435 A 20040401

IT 159752-10-0 770710-32-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (growth hormone secretagogue-phosphodiesterase 4 inhibitor combination
 for treatment of Alzheimer's disease)

RN 159752-10-0 HCPLUS

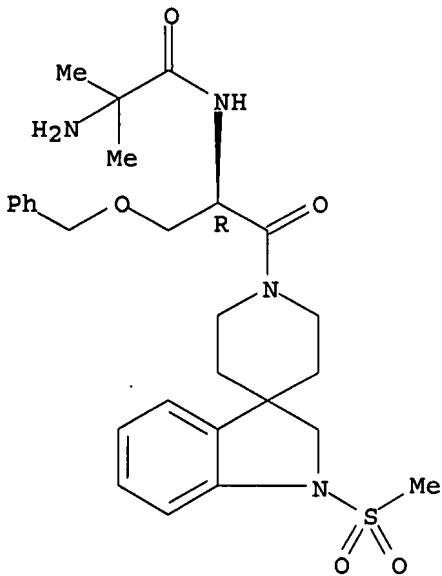
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 159634-47-6

CMF C27 H36 N4 O5 S

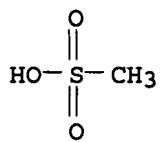
Absolute stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S



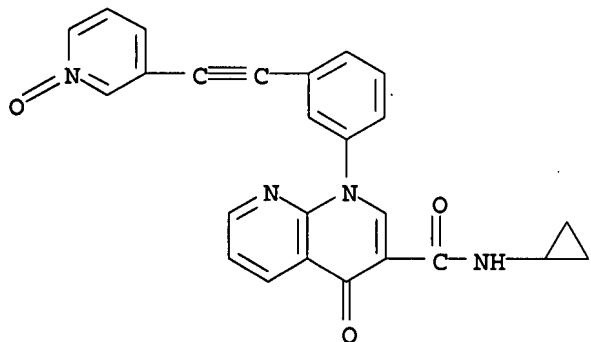
RN 770710-32-2 HCPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-cyclopropyl-1,4-dihydro-1-[3-[(1-oxido-3-pyridinyl)ethynyl]phenyl]-4-oxo-, mixt. with 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methylpropanamide (9CI) (CA INDEX NAME)

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CRN 500355-52-2

CMF C25 H18 N4 O3

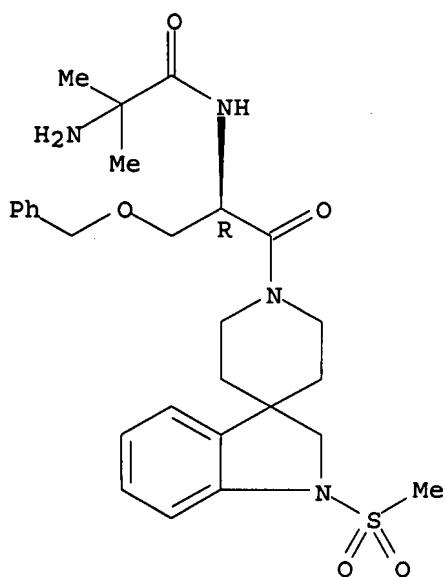


CM 2

CRN 159634-47-6

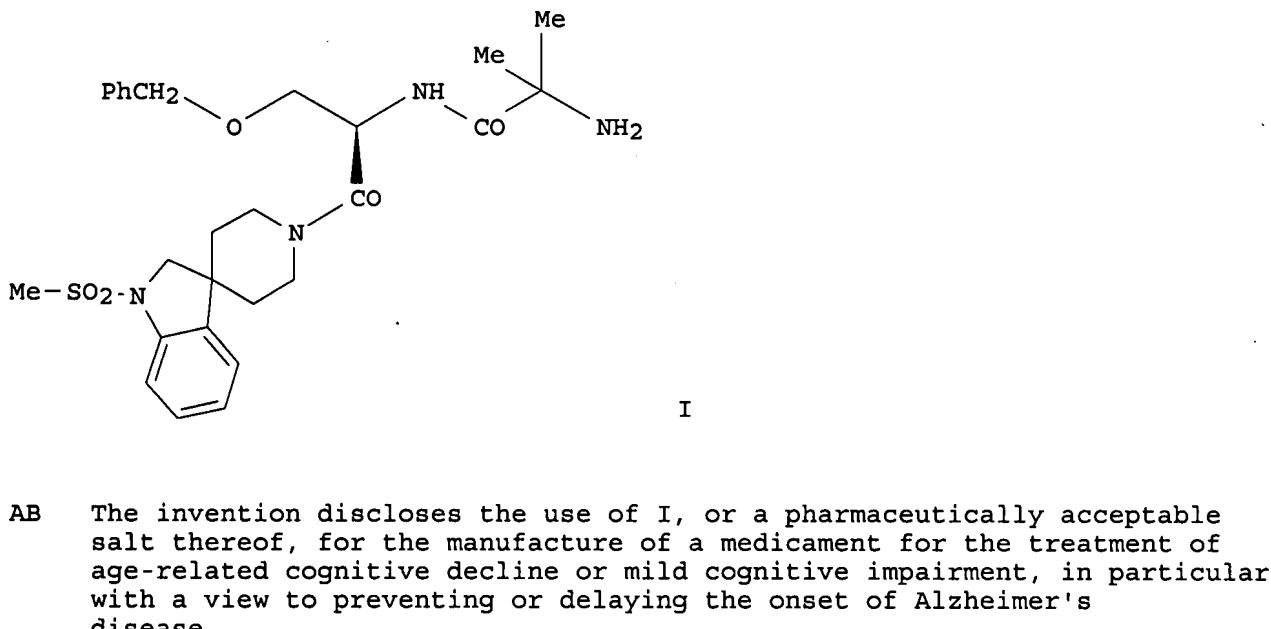
CMF C27 H36 N4 O5 S

Absolute stereochemistry.



ED Entered STN: 24 Sep 2004

GI



AB The invention discloses the use of I, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of age-related cognitive decline or mild cognitive impairment, in particular with a view to preventing or delaying the onset of Alzheimer's disease.

ACCESSION NUMBER: 2004:780537 HCAPLUS
 DOCUMENT NUMBER: 141:271591
 TITLE: Method using a methanesulfonylspiroindolepiperidine derivative for treating mild cognitive impairment and for preventing or delaying Alzheimer's disease
 INVENTOR(S): Shearman, Mark Steven; Turner, Mervyn
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK; Merck & Co. Inc.
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080459	A1	20040923	WO 2004-GB983	20040308
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004218871	A1	20040923	AU 2004-218871	20040308
CA 2518886	A1	20040923	CA 2004-2518886	20040308
EP 1605940	A1	20051221	EP 2004-718341	20040308
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008295	A	20060307	BR 2004-8295	20040308
CN 1794992	A	20060628	CN 2004-80006962	20040308
JP 2006520371	T	20060907	JP 2006-505929	20040308

NO 2005004714	A 20051116	NO 2005-4714	20051013
US 2006241133	A1 20061026	US 2006-549839	20060622
PRIORITY APPLN. INFO.:		US 2003-454589P	P 20030314
		WO 2004-GB983	A 20040308

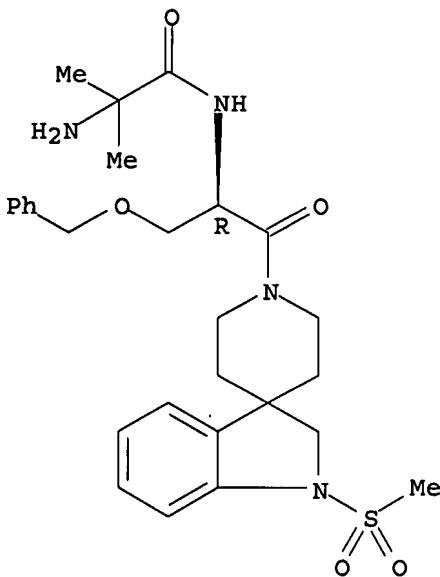
IT 159634-47-6 159752-10-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (methanesulfonylspiroindolepiperidine derivative for treating mild cognitive impairment and preventing or delaying Alzheimer's disease)

RN 159634-47-6 HCAPLUS

CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159752-10-0 HCAPLUS

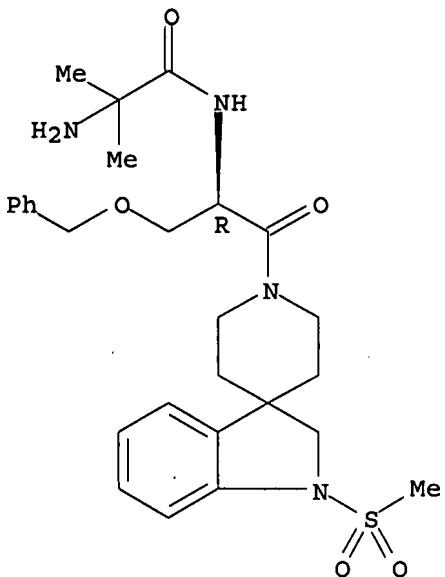
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 159634-47-6

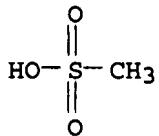
CMF C27 H36 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 14

ED Entered STN: 04 Jun 2004

AB The invention discloses methods for promoting neurogenesis by contacting neuronal tissue with intracellular cAMP-elevating agents and intracellular calcium ion-elevating agents. Agents for promoting neurogenesis are also disclosed.

ACCESSION NUMBER: 2004:453015 HCAPLUS

DOCUMENT NUMBER: 141:17632

TITLE: Methods and agents elevating cAMP and calcium ion for increasing neurogenesis

INVENTOR(S): Bertilsson, Goran; Erlandsson, Rikard; Friesen, Jonas; Haegestrand, Anders; Heidrich, Jessica; Hellstrom, Kristina; Haggblad, Johan; Jansson, Katarina; Kortesmaa, Jarkko; Lindquist, Per; Lundh, Hanna; McGuire, Jacqueline; Mercer, Alex; Njberg, Karl; Ossoinak, Amina; Patrone, Cesare; Ronnholm, Harriet; Zachrisson, Olof; Wikstrom, Lilian

PATENT ASSIGNEE(S): Neuronova AB, Swed.

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

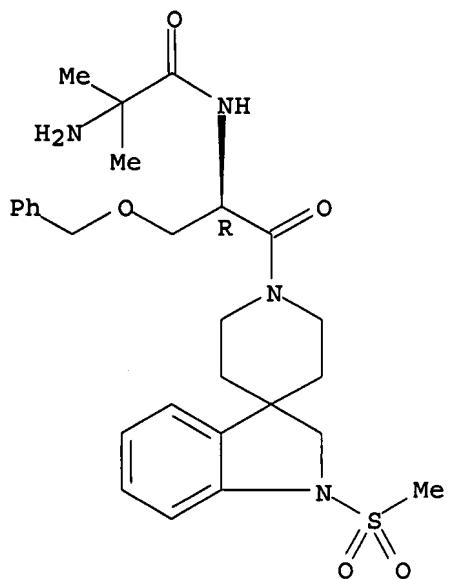
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

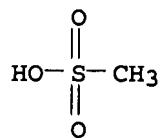
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004045592	A2	20040603	WO 2003-IB5311	20031120
WO 2004045592	A3	20041104		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2506850	A1	20040603	CA 2003-2506850	20031120
AU 2003280117	A1	20040615	AU 2003-280117	20031120
EP 1583541	A2	20051012	EP 2003-772495	20031120
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006514630	T	20060511	JP 2004-553032	20031120
CA 2546843	A1	20050909	CA 2004-2546843	20041119
WO 2005081619	A2	20050909	WO 2004-IB4451	20041119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1750752	A2	20070214	EP 2004-821493	20041119
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK, YU			
PRIORITY APPLN. INFO.:			US 2002-427912P	P 20021120
			US 2003-718071	A 20031120
			WO 2003-IB305311	A 20031120
			WO 2003-IB5311	W 20031120
			US 2004-850055	A 20040519
			WO 2004-IB4451	W 20041119
IT 159752-10-0, MK-677				
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(cAMP-elevating and calcium ion-elevating compds. for increasing neurogenesis)				
RN 159752-10-0 HCPLUS				
CN Propanamide, 2-amino-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-methyl-, methanesulfonate (1:1) (CA INDEX NAME)				
CM 1				
CRN 159634-47-6				
CMF C27 H36 N4 O5 S				

Absolute stereochemistry.

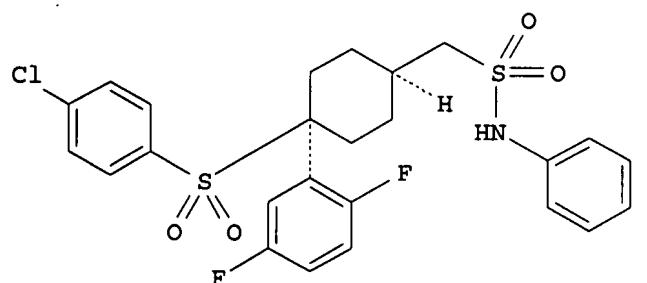
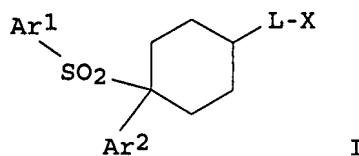


CM 2

CRN 75-75-2
CMF C H4 O3 S



L11 ANSWER 18 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 15 Apr 2004
GI



II

AB Aryl cyclohexyl sulfones (shown as I; variables defined below; e.g. II) inhibit the processing of APP by γ -secretase, and hence are useful in treatment of Alzheimer's disease. For I: X = SCN, SR1, S(O)R1, (CRaRb)mSO2R1, SO2N(R2)2, SO2NHCOR1, SO2NHN(R2)2, OSO2N(R2)2, OS(O)N(R2)2, OSO2NHCOR1, COR4, NHCOR1, NHCO2R1, NHCON(R2)2, NHSO2R1 or NHSO2N(R2)2; L = a bond, :CH- or -(CHRa)n- with provisos; n = 1-3; Ar1 and Ar2 = Ph or heteroaryl, either of which bears 0-3 halogen, CN, NO2, CF3, CHF2, OH, OCF3, CHO, CH:NOH, C1-4-alkoxy, C1-4-alkoxycarbonyl, C2-6-acyl, C2-6-alkenyl, and C1-4-alkyl; Ra = H, alkyl; Rb = H, alkyl, CO2H, alkoxy carbonyl, alkylsulfonyl; R1 = CF3, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl(alkyl), heterocyclyl(alkyl); R2 = H, (substituted) alkoxy, alkyl, alkenyl, cycloalkyl, cycloalkylalkyl; R3 = H, alkyl, Ph, heteroaryl; R4 = CRaRbSO2R1, pyridine N-oxide, substituted Ph, heteroaryl; addnl. details are given in the claims. Although the methods of preparation are not claimed, example preps. and/or characterization data are included for <180 examples of I and some intermediates. For example, II was prepared from excess aniline and [cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanesulfonyl chloride, which was prepared from SO2Cl2, KNO3 and [cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methanethiol, which was prepared from in 2 steps from iodo [cis-4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]methane, which was prepared photochem. from [cis-4-(4-Chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid, iodoisobenzene diacetate and I2. The examples all had an ED50 against γ -secretase of <1 μ M, typically <0.5 μ M, in most cases <100 nM, and in preferred cases <10 nM.

ACCESSION NUMBER: 2004:308409 HCPLUS
 DOCUMENT NUMBER: 140:321108
 TITLE: Preparation of aryl cyclohexyl sulfones as γ -secretase inhibitors useful against Alzheimer's disease
 INVENTOR(S): Churcher, Ian; Harrison, Timothy; Kerrad, Sonia; Oakley, Paul Joseph; Shaw, Duncan Edward; Teall, Martin Richard; Williams, Susannah
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
 SOURCE: PCT Int. Appl., 78 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031137	A1	20040415	WO 2003-GB4102	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500964	A1	20040415	CA 2003-2500964	20030925
AU 2003267614	A1	20040423	AU 2003-267614	20030925
EP 1551797	A1	20050713	EP 2003-748306	20030925
EP 1551797	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501292	T	20060112	JP 2004-540927	20030925
AT 354562	T	20070315	AT 2003-748306	20030925
US 2004122050	A1	20040624	US 2003-679557	20031006
US 7101895	B2	20060905		

PRIORITY APPLN. INFO.:

GB 2002-23039
WO 2003-GB4102A 20021004
W 20030925

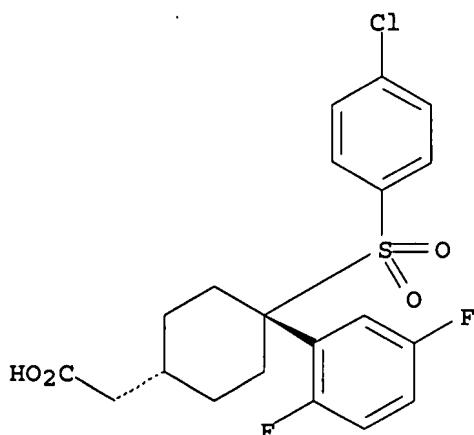
OTHER SOURCE(S): MARPAT 140:321108

IT 471903-69-2, [cis-4-(4-Chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl]acetic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of aryl cyclohexyl sulfones as γ -secretase inhibitors useful against Alzheimer's disease)

RN 471903-69-2 HCPLUS

CN Cyclohexaneacetic acid, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, cis- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

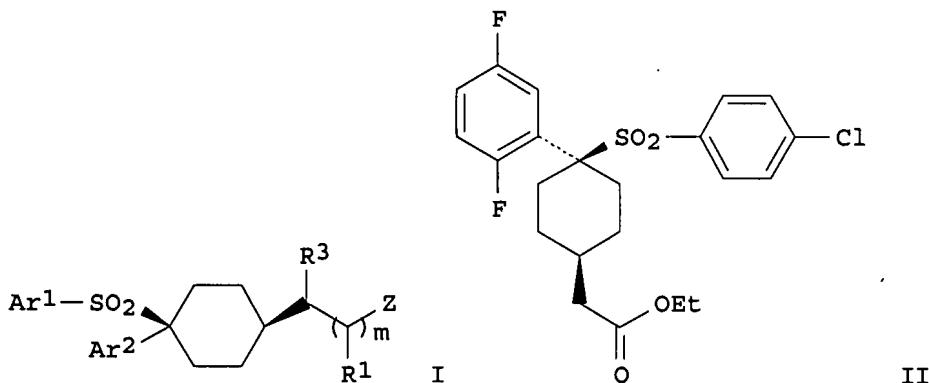
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THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 20 HCPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 07 Mar 2003

GI



AB Title sulfones I [wherein m = 0-1; Z = CN, OR₂, CO₂R₂, or CON(R₂)₂; R₁ = H, alkyl, or OH; R₂ and R₄ = independently H or (un)substituted alkyl, cycloalkyl(alkyl), alkenyl, or (hetero)aryl; or N(R₂)₂ or N(R₄)₂ = independently (un)substituted heterocyclyl; R₃ = H or alkyl; or pharmaceutically acceptable salts thereof] were prepared. For example, oxidative coupling of 4-chlorothiophenol with 2,5-difluorobenzyl bromide gave 1-[(4-chlorophenyl)sulfonyl)methyl]-2,5-difluorobenzene. Reaction

with Me acrylate and KOBu in THF, followed by heating to 150° for 2 h in a solution of DMSO, NaCl, and H₂O afforded 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)cyclohexanone. Condensation of the ketone with Et (diethoxyphosphinyl)acetate in the presence of NaH in THF provided the alkylidene derivative (88%), which was reduced with NaBH₄ to give (cis)-II (36%). I modulate the processing of amyloid precursor protein by γ-secretase and hence are useful in the treatment or prevention of Alzheimer's disease (no data).

ACCESSION NUMBER: 2003:173575 HCAPLUS
 DOCUMENT NUMBER: 138:221350
 TITLE: Preparation of 1-phenyl-1-(arylsulfonyl)cyclohexanes for treatment of Alzheimer's disease
 INVENTOR(S): Churcher, Ian; Dinnell, Kevin; Harrison, Timothy; Kerrad, Sonia; Nadin, Alan John; Oakley, Paul Joseph; Shaw, Duncan Edward; Teall, Martin Richard; Williams, Brian John; Williams, Susannah
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003018543	A1	20030306	WO 2002-GB3806	20020816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2002081435	A1	20021017	WO 2001-GB3741	20010821
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2456420	A1	20030306	CA 2002-2456420	20020816
EP 1421062	A1	20040526	EP 2002-758542	20020816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011635	A	20040713	BR 2002-11635	20020816
HU 200401241	A2	20041129	HU 2004-1241	20020816
JP 2005501120	T	20050113	JP 2003-523207	20020816
JP 3711131	B2	20051026		
NZ 530581	A	20060428	NZ 2002-530581	20020816
ZA 2004000406	A	20041028	ZA 2004-406	20040120
IN 2004CN00345	A	20051223	IN 2004-CN345	20040219
NO 2004001185	A	20040319	NO 2004-1185	20040319
PRIORITY APPLN. INFO.:			GB 2001-20347	A 20010821
			WO 2001-GB3741	W 20010821
			GB 2001-8591	A 20010405
			WO 2002-GB3806	W 20020816

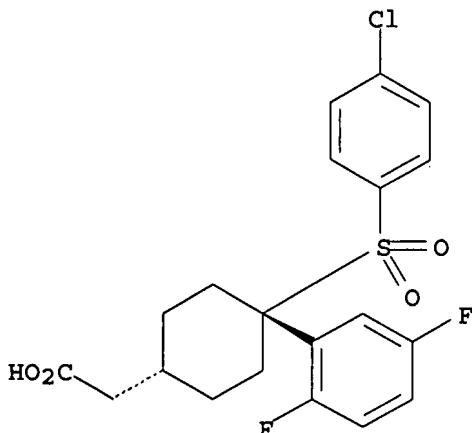
OTHER SOURCE(S): MARPAT 138:221350
 IT 471903-69-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(anti-Alzheimer's agent; preparation of phenylcyclohexyl aryl sulfones for treatment of Alzheimer's disease)

RN 471903-69-2 HCAPLUS

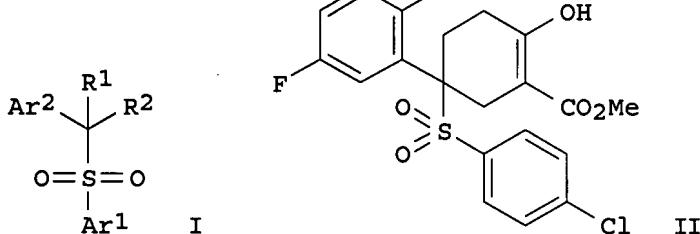
CN Cyclohexaneacetic acid, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, cis- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 18 Oct 2002
GI



AB Title compds. I [R1 and R2 together from a (un)substituted saturated or unsatd. ring of 4-7 atoms of which at most 2 are selected from N, O, and S with the remaining being C; Ar1 and Ar2 independently equal (un)substituted aryl or heteroaryl] and their pharmaceutically acceptable salts are disclosed as modulators of gamma secretase (no data). Thus, II was prepared via condensation of 4-chlorothiophenol with 2,5-difluorobenzyl bromide, oxidation of intermediate thioether and subsequent cyclization with Me acrylate. As modulators of the action of g-secretase, I are useful in the treatment or prevention of Alzheimer's disease.

ACCESSION NUMBER: 2002:793593 HCAPLUS

DOCUMENT NUMBER: 137:310695

TITLE: Preparation of aryl sulfones which modulate the action of gamma secretase

INVENTOR(S): Castro Pineiro, Jose Luis; Churcher, Ian; Dinnell, Kevin; Harrison, Timothy; Kerrad, Sonia; Nadin, Alan

John; Oakley, Paul Joseph; Owens, Andrew Pate; Shaw,
 Duncan Edward; Teall, Martin Richard; Williams, Brian
 John; Williams, Susannah
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081435	A1	20021017	WO 2001-GB3741	20010821
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CN 1545501	A	20041110	CN 2002-816325	20020816
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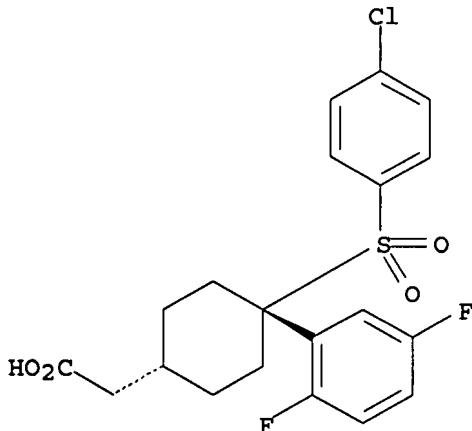
OTHER SOURCE(S): MARPAT 137:310695
 IT 471903-69-2P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aryl sulfones as modulators of gamma secretase useful for the treatment of Alzheimer's disease)

RN 471903-69-2 HCPLUS

CN Cyclohexaneacetic acid, 4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-, cis- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s growth(w)hormone(w)secretogogue

1340413 GROWTH

287715 HORMONE

89 SECRETOGOGUE

L12 1 GROWTH (W) HORMONE (W) SECRETOGOGUE

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Executing the logoff script...

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COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
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FULL ESTIMATED COST

144.40 494.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE

-15.60 -15.60

STN INTERNATIONAL LOGOFF AT 08:23:16 ON 24 APR 2007